## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

## (19) World Intellectual Property Organization International Bureau



(43) International Publication Date 23 December 2004 (23.12.2004)

**PCT** 

## (10) International Publication Number: WO 2004/110433 A1

(51) International Patent Classification<sup>7</sup>: A61K 31/4025, C07D 401/12, 413/12, 403/12, C07C 275/26, A61P 7/02

(21) International Application Number: PCT/EP2004/005717

(22) International Filing Date:

27 May 2004 (27.05.2004)

(25) Filing Language:

German

(26) Publication Language:

German

(30) Priority Data:

103 27 428.6

18 June 2003 (18.06.2003) DI

103 29 457.0

1 July 2003 (01.07.2003) DE

(71) Applicant (for all designated States except US): MERCK PATENT GMBH [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): MEDERSKI, Werner [DE/DE]; Katzenelnbogenweg 1, 64673 Zwingenberg (DE). TSAKLAKIDIS, Christos [GR/DE]; Im Langgewann 54, 69469 Weinheim (DE). DORSCH, Dieter [DE/DE]; Königsberger Strasse 17A, 64372 Ober-Ramstadt (DE). CE-ZANNE, Bertram [DE/DE]; Bahnstrasse 74, 64546 Mörfelden-Walldorf (DE). GLEITZ, Johannes [DE/DE]; Liebigstrasse 26, 64293 Darmstadt (DE).

(74) Common Representative: MERCK PATENT GMBH; Frankfurter Strasse 250, 64293 Darmstadt (DE).

(81) Designated states (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

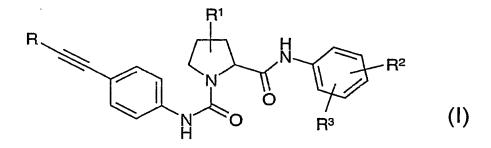
(84) Designated states (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

## Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 1-[(4-ETHYNYLPHENYL)]-2-[(PHENYL)]-PYRROLIDINE-1,2-DICARBOXAMIDE DERIVATIVES AS INHIBITORS OF COAGULATION FACTORS XA AND VIIA FOR THE TREATMENT OF THROMBOSES



(57) Abstract: Novel compounds of the formula (I), in which R, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in Patent Claim 1, are inhibitors of coagulation factor Xa and can be employed for the prophylaxis and/or therapy of thromboembolic diseases and for the treatment of tumours...